research. Use for software development or design or implementation of commercial gateways or other similar uses is prohibited and may result in loss of user privileges and other penalties.

FILE 'HOME' ENTERED AT 15:01:01 ON 01 OCT 2006

Uploading
THIS COMMAND NOT AVAILABLE IN THE CURRENT FILE
Do you want to switch to the Registry File?
Choice (Y/n):

Switching to the Registry File...

Some commands only work in certain files. For example, the EXPAND command can only be used to look at the index in a file which has an index. Enter "HELP COMMANDS" at an arrow prompt (=>) for a list of commands which can be used in this file.

=> FILE REGISTRY

COST IN U.S. DOLLARS

SINCE FILE TOTAL ENTRY SESSION 0.21 0.21

FULL ESTIMATED COST

FILE 'REGISTRY' ENTERED AT 15:01:17 ON 01 OCT 2006
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Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 29 SEP 2006 HIGHEST RN 909185-74-6 DICTIONARY FILE UPDATES: 29 SEP 2006 HIGHEST RN 909185-74-6

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH June 30, 2006

Please note that search-term pricing does apply when conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

http://www.cas.org/ONLINE/UG/regprops.html

Uploading C:\Program Files\Stnexp\Queries\10566562.str

Mak

Connecting via Winsock to STN

Welcome to STN International! Enter x:x

LOGINID: SSSPTA1626GMS

PASSWORD:

TERMINAL (ENTER 1, 2, 3, OR ?):2

* * *	* *	* *	* *	* Welcome to STN International * * * * * * * * *								
NEWS	1			Web Page URLs for STN Seminar Schedule - N. America								
NEWS	2			"Ask CAS" for self-help around the clock								
NEWS	3	FEB	27	New STN AnaVist pricing effective March 1, 2006								
NEWS	4	MAY		CAplus enhanced with 1900-1906 U.S. patent records								
NEWS	5	MAY		KOREAPAT updates resume								
NEWS	6	MAY	19	Derwent World Patents Index to be reloaded and enhanced								
NEWS	7	MAY	30	IPC 8 Rolled-up Core codes added to CA/CAplus and								
				USPATFULL/USPAT2								
NEWS	8	MAY	30	The F-Term thesaurus is now available in CA/CAplus								
NEWS	9	JUN	02	The first reclassification of IPC codes now complete in								
				INPADOC								
NEWS	10	JUN	26	TULSA/TULSA2 reloaded and enhanced with new search and								
				and display fields								
NEWS		JUN		Price changes in full-text patent databases EPFULL and PCTFULL								
NEWS		JUl		CHEMSAFE reloaded and enhanced								
NEWS		JUl		FSTA enhanced with Japanese patents								
NEWS		JUl		verage of Research Disclosure reinstated in DWPI								
NEWS		AUG		INSPEC enhanced with 1898-1968 archive								
NEWS		AUG		ADISCTI Reloaded and Enhanced								
NEWS		AUG		CA(SM)/CAplus(SM) Austrian patent law changes								
NEWS				CA/CAplus enhanced with more pre-1907 records								
NEWS	19	SEP	21	CA/CAplus fields enhanced with simultaneous left and right								
				truncation								
NEWS		SEP		CA(SM)/CAplus(SM) display of CA Lexicon enhanced								
NEWS				CAS REGISTRY(SM) no longer includes Concord 3D coordinates								
NEWS				CAS REGISTRY(SM) updated with amino acid codes for pyrrolysine								
NEWS	23	SEP	28	CEABA-VTB classification code fields reloaded with new								
				classification scheme								
NEWS	EXPE	ESS	יוו די	VE 30 CURRENT WINDOWS VERSION IS V8.01b, CURRENT								
212110				CINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP),								
				CURRENT DISCOVER FILE IS DATED 26 JUNE 2006.								
				SOURCE SECONDA FIELD IN SECULD 20 COME 2000.								
NEWS	HOUR	RS	STN	Operating Hours Plus Help Desk Availability								
NEWS	LOGI	N	Wel	.come Banner and News Items								
NEWS	IPC8	}	For	general information regarding STN implementation of IPC 8								
NEWS	X25			25 communication option no longer available								
	Enter NEWS followed by the item number or name to see news on that											

All use of STN is subject to the provisions of the STN Customer

All use of STN is subject to the provisions of the STN Customer agreement. Please note that this agreement limits use to scientific

specific topic.

chain nodes :

10 11 12 13 14 15 16 17

ring nodes :

1 2 3 4 5 6 7 8 9

chain bonds :

5-11 6-17 7-16 9-10 11-12 11-14 12-13 12-15

ring bonds :

1-2 1-6 2-3 3-4 4-7 5-6 5-9 6-7 7-8 8-9

exact/norm bonds :

5-6 5-9 5-11 6-17 7-16 11-14 12-15

exact bonds :

1-2 1-6 2-3 3-4 4-7 6-7 7-8 8-9 9-10 11-12 12-13

isolated ring systems :

containing 1 :

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:CLASS 11:CLASS 12:CLASS 13:CLASS 14:CLASS 15:CLASS 16:CLASS 17:CLASS

Stereo Bonds:

10-9 (Single Wedge).

Stereo Chiral Centers:

9 (Parity=Don't Care)

Stereo RSS Sets:

Type=Relative (Default). 1 Nodes= 9

L1 STRUCTURE UPLOADED

=> d 11

L1 HAS NO ANSWERS

L1 STR

Structure attributes must be viewed using STN Express query preparation.

=> s l1

SAMPLE SEARCH INITIATED 15:01:35 FILE 'REGISTRY'

SAMPLE SCREEN SEARCH COMPLETED -

2 TO ITERATE

100.0% PROCESSED

2 ITERATIONS

0 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**

> BATCH **COMPLETE**

PROJECTED ITERATIONS:

2 TO 124

PROJECTED ANSWERS:

0 TO

L2

0 SEA SSS SAM L1

=> s l1 sss full

FULL SEARCH INITIATED 15:01:41 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED -

45 TO ITERATE

100.0% PROCESSED

45 ITERATIONS

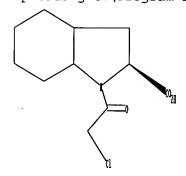
0 ANSWERS

SEARCH TIME: 00.00.01

L3

0 SEA SSS FUL L1

Uploading C:\Program Files\Stnexp\Queries\10566562a.str



10566562.trn

Page 4

chain nodes : 10 11 12 13 14 ring nodes :

1 2 3 4 5 6 7 8 9

chain bonds :

5-11 9-10 11-12 11-14 12-13

ring bonds :

1-2 1-6 2-3 3-4 4-7 5-6 5-9 6-7 7-8 8-9

exact/norm bonds : 5-6 5-9 5-11 11-14

exact bonds :

1-2 1-6 2-3 3-4 4-7 6-7 7-8 8-9 9-10 11-12 12-13

isolated ring systems :

containing 1 :

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:CLASS 11:CLASS 12:CLASS 13:CLASS 14:CLASS

Stereo Bonds:

10-9 (Single Wedge).

Stereo Chiral Centers:

(Parity=Don't Care)

Stereo RSS Sets:

Type=Relative (Default). 1 Nodes= 9

L4 STRUCTURE UPLOADED

=> d 14L4 HAS NO ANSWERS

L4

Structure attributes must be viewed using STN Express query preparation.

10566562.trn

Page 5

=> d 14

L4 HAS NO ANSWERS

L4STR

$$\begin{array}{c} H \\ H \\ CO_2H \\ \end{array}$$

Structure attributes must be viewed using STN Express query preparation.

=> s 14

G1 X

SAMPLE SEARCH INITIATED 15:43:29 FILE 'REGISTRY'

SAMPLE SCREEN SEARCH COMPLETED -42 TO ITERATE

100.0% PROCESSED 42 ITERATIONS

0 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**

> BATCH **COMPLETE**

PROJECTED ITERATIONS: 452 TO

PROJECTED ANSWERS: 0 TO

L5 0 SEA SSS SAM L4

=> s l4 sss full

FULL SEARCH INITIATED 15:43:35 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED -

100.0% PROCESSED 951 ITERATIONS

0 ANSWERS

SEARCH TIME: 00.00.01

L6 0 SEA SSS FUL L4

=> log y

COST IN U.S. DOLLARS SINCE FILE TOTAL

ENTRY SESSION

FULL ESTIMATED COST 334.76 334.97

STN INTERNATIONAL LOGOFF AT 15:43:42 ON 01 OCT 2006

1228

=> s 14

SAMPLE SEARCH INITIATED 15:03:37 FILE 'REGISTRY'

SAMPLE SCREEN SEARCH COMPLETED - 7 TO ITERATE

100.0% PROCESSED

7 ITERATIONS

0 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**

BATCH **COMPLETE**

PROJECTED ITERATIONS:

7 TO 298

PROJECTED ANSWERS:

0 TO

0 SEA SSS SAM L4

=> s l4 sss full

FULL SEARCH INITIATED 15:03:43 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED - 136 TO ITERATE

100.0% PROCESSED

136 ITERATIONS

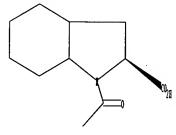
0 ANSWERS

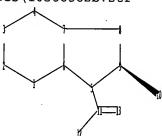
SEARCH TIME: 00.00.01

L6

0 SEA SSS FUL L4

Uploading C:\Program Files\Stnexp\Queries\10566562b.str





chain nodes :

10 11 12 13

ring nodes :

1 2 3 4 5 6 7 8 9

chain bonds :

5-11 9-10 11-12 11-13

ring bonds :

1-2 1-6 2-3 3-4 4-7 5-6 5-9 6-7 7-8 8-9

exact/norm bonds :

5-6 5-9 5-11 11-13

exact bonds :

1-2 1-6 2-3 3-4 4-7 6-7 7-8 8-9 9-10 11-12

isolated ring systems :

containing 1 :

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:CLASS 11:CLASS 12:CLASS 13:CLASS

Stereo Bonds:

10-9 (Single Wedge).

10566562.trn

Page 6

Stereo Chiral Centers:

(Parity=Don't Care)

Stereo RSS Sets:

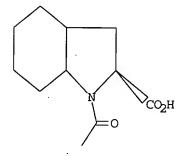
Type=Relative (Default). 1 Nodes= 9

L7 STRUCTURE UPLOADED

=> d 17

L7 HAS NO ANSWERS

L7 ·



Structure attributes must be viewed using STN Express query preparation.

=> s 17

SAMPLE SEARCH INITIATED 15:17:43 FILE 'REGISTRY' SAMPLE SCREEN SEARCH COMPLETED - 204 TO ITERATE

100.0% PROCESSED

204 ITERATIONS

17 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**

BATCH **COMPLETE**

PROJECTED ITERATIONS:

3224 TO 4936

PROJECTED ANSWERS:

93 TO 587

L8

17 SEA SSS SAM L7

=> s 17 sss fyll

COMBINATION OF STRUCTURE AND TEXT TERMS NOT VALID The query entered contains both search terms created by structure-building or screen commands and text search terms. L#s created via the STRUCTURE or SCREEN commands must be searched in the structures files separately from text terms or profiles. The L# answer sets from structure searches can be used in crossover searches and can be combined with text terms.

=> s 17 sss full

FULL SEARCH INITIATED 15:18:05 FILE 'REGISTRY' FULL SCREEN SEARCH COMPLETED -4244 TO ITERATE

100.0% PROCESSED 4244 ITERATIONS

333 ANSWERS

10566562.trn

Page 7

SEARCH TIME: 00.00.01

L9 333 SEA SSS FUL L7

=>

Uploading C:\Program Files\Stnexp\Queries\10566562c.str

15

chain nodes :

10 11 12 13 14 15 16

ring nodes :

1 2 3 4 5 6 7 8 9

chain bonds :

5-11 6-16 7-15 9-10 11-12 11-13 12-14

ring bonds :

1-2 1-6 2-3 3-4 4-7 5-6 5-9 6-7 7-8 8-9

exact/norm bonds :

5-6 5-9 5-11 6-16 7-15 11-13 12-14

exact bonds :

1-2 1-6 2-3 3-4 4-7 6-7 7-8 8-9 9-10 11-12

isolated ring systems :

containing 1 :

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:CLASS

11:CLASS 12:CLASS 13:CLASS 14:CLASS 15:CLASS 16:CLASS

Stereo Bonds:

10-9 (Single Wedge).

Stereo Chiral Centers:

9 (Parity=Don't Care)

Stereo RSS Sets:

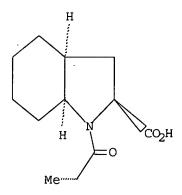
Type=Relative (Default). 1 Nodes= 9

L10 STRUCTURE UPLOADED

=> d 110

L10 HAS NO ANSWERS

L10 STR



Structure attributes must be viewed using STN Express query preparation.

=> s 110

SAMPLE SEARCH INITIATED 15:19:50 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 143 TO ITERATE

100.0% PROCESSED

143 ITERATIONS

12 ANSWERS

242 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:

ONLINE **COMPLETE**

BATCH **COMPLETE**

PROJECTED ITERATIONS:

2143 TO 3577

PROJECTED ANSWERS:

33 TO 447

L11

12 SEA SSS SAM L10

=> s 110 sss full

FULL SEARCH INITIATED 15:20:02 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 3176 TO ITERATE

100.0% PROCESSED 3176 ITERATIONS

·

SEARCH TIME: 00.00.01

L12

242 SEA SSS FUL L10

=> FIL HCAPLUS

COST IN U.S. DOLLARS

SINCE FILE TOTAL

ENTRY SESSION

679.64 679.85

FULL ESTIMATED COST

FILE 'HCAPLUS' ENTERED AT 15:20:09 ON 01 OCT 2006
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Page 9

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FILE COVERS 1907 - 1 Oct 2006 VOL 145 ISS 15 FILE LAST UPDATED: 29 Sep 2006 (20060929/ED)

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This file contains CAS Registry Numbers for easy and accurate substance identification.

=> d his

(FILE 'HOME' ENTERED AT 15:01:01 ON 01 OCT 2006)

FILE 'REGISTRY' ENTERED AT 15:01:17 ON 01 OCT 2006 L1STRUCTURE UPLOADED L20 S L1 L30 S L1 SSS FULL L4STRUCTURE UPLOADED L5 0 S L4 0 S L4 SSS FULL L6 L7 STRUCTURE UPLOADED 17 S L7 L8 333 S L7 SSS FULL L9 L10STRUCTURE UPLOADED L11 12 S L10 242 S L10 SSS FULL L12

FILE 'HCAPLUS' ENTERED AT 15:20:09 ON 01 OCT 2006

=> s 112

L13 1516 L12

=> FIL REGISTRY

COST IN U.S. DOLLARS

SINCE FILE TOTAL ENTRY SESSION 7.59 687.44

FULL ESTIMATED COST

FILE 'REGISTRY' ENTERED AT 15:22:05 ON 01 OCT 2006
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STRUCTURE FILE UPDATES: 29 SEP 2006 HIGHEST RN 909185-74-6 DICTIONARY FILE UPDATES: 29 SEP 2006 HIGHEST RN 909185-74-6

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TSCA INFORMATION NOW CURRENT THROUGH June 30, 2006

Please note that search-term pricing does apply when conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and

10566562.trn

predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

http://www.cas.org/ONLINE/UG/regprops.html

Uploading C:\Program Files\Stnexp\Queries\10566562d.str

chain nodes :

10 11 12 13 14 15 16 18

ring nodes :

1 2 3 4 5 6 7 8 9

chain bonds :

5-11 6-16 7-15 9-10 11-12 11-13 12-14 12-18

ring bonds :

1-2 1-6 2-3 3-4 4-7 5-6 5-9 6-7 7-8 8-9

exact/norm bonds :

5-6 5-9 5-11 6-16 7-15 11-13 12-14

exact bonds :

1-2 1-6 2-3 3-4 4-7 6-7 7-8 8-9 9-10 11-12 12-18

isolated ring systems :

containing 1 :

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:CLASS 11:CLASS 12:CLASS 13:CLASS 14:CLASS 15:CLASS 16:CLASS

Stereo Bonds:

10-9 (Single Wedge).

Stereo Chiral Centers:

9 (Parity=Don't Care)

Stereo RSS Sets:

Type=Relative (Default). 1 Nodes= 9

L14 STRUCTURE UPLOADED

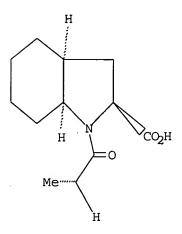
≈> d 114

L14 HAS NO ANSWERS

10566562.trn

Page 11

L14 STR



Structure attributes must be viewed using STN Express query preparation.

=> s 114

SAMPLE SEARCH INITIATED 15:22:28 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 143 TO ITERATE

100.0% PROCESSED 143 ITERATIONS 12 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**

BATCH **COMPLETE**

PROJECTED ITERATIONS: 2143 TO 3577

PROJECTED ANSWERS: 33 TO 447

L15 12 SEA SSS SAM L14

=> s 114 sss full FULL SEARCH INITIATED 15:22:35 FILE 'REGISTRY' FULL SCREEN SEARCH COMPLETED - 3176 TO ITERATE

100.0% PROCESSED 3176 ITERATIONS 240 ANSWERS

SEARCH TIME: 00.00.01

L16 240 SEA SSS FUL L14

=> FIL HCAPLUS

COST IN U.S. DOLLARS

SINCE FILE TOTAL
ENTRY SESSION
FULL ESTIMATED COST

SINCE FILE TOTAL
166.94
854.38

FILE 'HCAPLUS' ENTERED AT 15:22:41 ON 01 OCT 2006
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FILE COVERS 1907 - 1 Oct 2006 VOL 145 ISS 15 FILE LAST UPDATED: 29 Sep 2006 (20060929/ED)

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This file contains CAS Registry Numbers for easy and accurate substance identification.

=> d his

(FILE 'HOME' ENTERED AT 15:01:01 ON 01 OCT 2006)

```
FILE 'REGISTRY' ENTERED AT 15:01:17 ON 01 OCT 2006
L1
                STRUCTURE UPLOADED
L2
              0 S L1
L3
              0 S L1 SSS FULL
                STRUCTURE UPLOADED
L4
L5
              0 S L4
L6
              0 S L4 SSS FULL
L7
                STRUCTURE UPLOADED
L8 .
            17 S L7
L9
            333 S L7 SSS FULL
L10
                STRUCTURE UPLOADED
           12 S L10
L11
L12
            242 S L10 SSS FULL
```

FILE 'HCAPLUS' ENTERED AT 15:20:09 ON 01 OCT 2006 L13 1516 S L12

FILE 'REGISTRY' ENTERED AT 15:22:05 ON 01 OCT 2006 L14 STRUCTURE UPLOADED

115 12 0 7 14

L15 12 S L14

L16 240 S L14 SSS FULL

FILE 'HCAPLUS' ENTERED AT 15:22:41 ON 01 OCT 2006

=> s 116

L17 1516 L16

L18 979 L17 AND PERINDOPRIL

=> s 118 and process

2315128 PROCESS

1571514 PROCESSES

3455450 PROCESS

(PROCESS OR PROCESSES)

L19 66 L18 AND PROCESS

=> s 119 and p/dt 5435395 P/DT

L20 42 L19 AND P/DT

=> s 120 and us/pc

1595126 US/PC

L21 19 L20 AND US/PC

=> d 121 ibib abs hitstr tot

L21 ANSWER 1 OF 19 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER:

2006:796623 HCAPLUS

DOCUMENT NUMBER:

145:230528

TITLE:

Process for making highly pure

perindopril erbumine

INVENTOR(S):

Kumar, Ashok; Soudagar, Satish Rajanikant; Mathur, Arpana; Shah, Chirag Hasmukh; Gunjal, Sanjay Tukaram; Metil, Dattatray Shamrao; Kelkar, Rahul Suresh;

Thakare, Devendra Digambar; Kumar, Bindu Manoj; Nair,

Raji

PATENT ASSIGNEE(S):

USA

SOURCE:

U.S. Pat. Appl. Publ., 6pp.

CODEN: USXXCO

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO. DATE KIND APPLICATION NO. DATE --------**-**----------_____ US 2006178422 A1 20060810 US 2005-140226 20050527 <--PRIORITY APPLN. INFO.: IN 2004-MU566 A 20040531 OTHER SOURCE(S): CASREACT 145:230528

A process for the synthesis and isolation of (2S,3aS,7aS)-1-[(2S)-2-[[(1S)-1-(ethoxycarbonyl)butyl]amino]-1oxopropyl]octahydro-1H-indole-2-carboxylic acid and its tert-butylamine salt, comprises the amidation of (2S, 3aS, 7aS) -octahydroindole-2-carboxylic acid benzyl ester and N-[(S)1-carboxybutyl]-(S)-alanine Et ester in nonreactive solvents in turn avoiding the formation of the impurity N-acetyl (2S, 3aS, 7aS) -octahydroindole-2-carboxylic acid benzyl ester. The de-protection of benzyl ester group is optimized by catalytic hydrogenolysis and then isolation of the product from an aqueous layer by extraction using an organic solvent, which eliminates the need for lyophilization.

This yields perindopril erbumine free of contaminants derivable from dicyclohexylcarbodiimide (e.g., dicyclohexylurea) and impurities originated by the use of Et acetate.

IT 82834-16-0P, Perindopril

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(in a process for making highly pure perindopril erbumine)

RN82834-16-0 HCAPLUS

CN1H-Indole-2-carboxylic acid, 1-[(2S)-2-[[(1S)-1-(ethoxycarbonyl)butyl]amino]-1-oxopropyl]octahydro-, (2S,3aS,7aS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

RN 107133-36-8 HCAPLUS

CN 1H-Indole-2-carboxylic acid, 1-[(2S)-2-[[(1S)-1-(ethoxycarbonyl)butyl]amino]-1-oxopropyl]octahydro-, (2S,3aS,7aS)-, compd. with 2-methyl-2-propanamine (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 82834-16-0 CMF C19 H32 N2 O5

Absolute stereochemistry. Rotation (-).

CM 2

CRN 75-64-9 CMF C4 H11 N

L21 ANSWER 2 OF 19 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER:

2006:100738 HCAPLUS

DOCUMENT NUMBER:

144:198849

10566562.trn

Page 15

TITLE: Novel dosage form comprising modified-release and

immediate-release active ingredients

INVENTOR(S): Vaya, Navin; Karan, Rajesh Singh; Sadanand. Sunil:

Gupta, Vinod Kumar

PATENT ASSIGNEE(S): India

SOURCE: U.S. Pat. Appl. Publ., 49 pp., Cont.-in-part of U.S.

Ser. No. 630,446.

CODEN: USXXCO

DOCUMENT TYPE:

LANGUAGE:

Patent English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
				-	
US 2006024365	A1	20060202	US 2005-134633		20050519 <
IN 193042	Α	20040626	IN 2002-MU697		20020805
US 2004096499	A1	20040520	US 2003-630446		20030729 <
PRIORITY APPLN. INFO.:			IN 2002-MU697	A	20020805
			IN 2002-MU699	Α	20020805
			IN 2003-MU80	Α	20030122
•			IN 2003-MU82	Α	20030122
			US 2003-630446	A2	20030729

- AB A dosage form comprising of a high dose, high solubility active ingredient as modified release and a low dose active ingredient as immediate release where the weight ratio of immediate release active ingredient and modified release active ingredient is from 1:10 to 1:15000 and the weight of modified release active ingredient per unit is from 500 mg to 1500 mg; a process for preparing the dosage form. Tablets containing 10 mg sodium pravastatin and 1000 mg niacin were prepared The release of sodium pravastatin after 24 h was 67.7%, and the release of niacin after 1 h was 84.1%.
- IT 80828-32-6, Indolapril hydrochloride 82834-16-0, Perindopril 87679-37-6, Trandolapril 95153-31-4, Perindoprilat
 - RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses) (novel dosage form comprising modified-release and immediate-release active ingredients)
- RN 80828-32-6 HCAPLUS
- CN 1H-Indole-2-carboxylic acid, 1-[(2S)-2-[[(1S)-1-(ethoxycarbonyl)-3-phenylpropyl]amino]-1-oxopropyl]octahydro-, monohydrochloride, (2S,3aS,7aS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

HCl

RN 82834-16-0 HCAPLUS
CN 1H-Indole-2-carboxylic acid, 1-[(2S)-2-[[(1S)-1-(ethoxycarbonyl)butyl]amino]-1-oxopropyl]octahydro-, (2S,3aS,7aS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

RN 87679-37-6 HCAPLUS
CN 1H-Indole-2-carboxylic acid, 1-[(2S)-2-[[(1S)-1-(ethoxycarbonyl)-3-phenylpropyl]amino]-1-oxopropyl]octahydro-, (2S,3aR,7aS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

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RN 95153-31-4 HCAPLUS

1H-Indole-2-carboxylic acid, 1-[(2S)-2-[[(1S)-1-carboxybutyl]amino]-1-CN oxopropyl]octahydro-, (2S,3aS,7aS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L21 ANSWER 3 OF 19 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER:

2005:1201076 HCAPLUS

DOCUMENT NUMBER:

143:446810

TITLE:

Processes for the preparation of alpha

polymorph of perindopril erbumine

INVENTOR(S):

Joshi, Narendra Shriram; Bhirud, Shekhar Bhaskar; Rao,

Kodali Eswara

PATENT ASSIGNEE(S):

Glenmark Pharmaceuticals Limited, India

SOURCE:

U.S. Pat. Appl. Publ., 8 pp.

DOCUMENT TYPE:

CODEN: USXXCO Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT	NO.					DATE			APPL					Dž	ATE		
US 200				0051110		US 2005-122731 WO 2005-IB1233			. 20050505 <				-				
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	NI, SM, ZM,	NO, SY, ZW	NZ, TJ,	OM, TM,	PG, TN,	PH, TR,	PL, TT,	PT, TZ,	RO, UA,	RU, UG,	SC, US,	SD, UZ,	SE, VC,	SG, VN,	SK, YU,	SL, ZA,	
RW	EE, RO,	GH, BY, ES, SE, NE,	KG, FI, SI,	KZ, FR, SK,	MD, GB, TR,	RU, GR,	TJ, HU,	TM, IE,	AT, IS,	BE, IT,	BG, LT,	CH, LU,	CY, MC,	CZ, NL,	DE, PL,	DK, PT,	
RITY AP	PLN.	•	.: '	NAD:		1 4 2	4460	1	IN 20 US 20					A 20 P 20	00409		

PRIOR

OTHER SOURCE(S):

MARPAT 143:446810

A process for the preparation of an alpha polymorph of

perindopril erbumine is provided comprising (a) forming a solution comprising perindopril erbumine in one or more ketones; (b) heating the solution to reflux; and (c) cooling the solution to a temperature sufficient to form the alpha polymorph of perindopril erbumine. The alpha polymorphs of perindopril erbumine obtained herein have a high purity level.

IT 107133-36-8P, Perindopril erbumine

RL: PEP (Physical, engineering or chemical process); PRP (Properties); PYP (Physical process); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); PROC (Process); USES (Uses) (of perindopril erbumine α -polymorph)

RN 107133-36-8 HCAPLUS

CN 1H-Indole-2-carboxylic acid, 1-[(2S)-2-[[(1S)-1-(ethoxycarbonyl)butyl]amino]-1-oxopropyl]octahydro-, (2S,3aS,7aS)-, compd. with 2-methyl-2-propanamine (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 82834-16-0 CMF C19 H32 N2 O5

Absolute stereochemistry. Rotation (-).

CM 2

CRN 75-64-9 CMF C4 H11 N

L21 ANSWER 4 OF 19 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2005:698368 HCAPLUS

DOCUMENT NUMBER: 143:173145

TITLE: Preparation of perindopril

INVENTOR(S): Bhirud, Shekhar Bhaskar; Ahmed, Suhail; Chandrasekhar,

Batchu; Purushotham, Vandanapu Loka Appala

PATENT ASSIGNEE(S): India

SOURCE: U.S. Pat. Appl. Publ., 7 pp.

CODEN: USXXCO

10566562.trn

Page 19

DOCUMENT TYPE:

Patent

LANGUAGE:

English

ENVITURED.

1

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE ---------------20050804 US 2005171165 A1 US 2004-985097 20041110 <--PRIORITY APPLN. INFO.: IN 2003-MU1179 Α 20031112 US 2004-569041P Ρ 20040507

OTHER SOURCE(S):

CASREACT 143:173145

GI

AB A process for preparing a novel intermediate, oxathiazolidinedione I, in the preparation of perindopril is provided. Thus, reacting thionyl chloride in CH2Cl2 with imidazole and N-1(S)-(carboxyethyl)butyl-(S)-alanine gave I. Also provided are improved processes for the preparation of perindopril erbumine comprising (a) reacting I with a silylated octahydroindole-1H-2-carboxylic acid II to form perindopril; and (b) reacting perindopril with tert-butylamine to form perindopril erbumine.

IT 107133-36-8P

RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)

(preparation of perindopril and perindopril erbumine)

RN 107133-36-8 HCAPLUS

CN 1H-Indole-2-carboxylic acid, 1-[(2S)-2-[[(1S)-1-(ethoxycarbonyl)butyl]amino]-1-oxopropyl]octahydro-, (2S,3aS,7aS)-, compd. with 2-methyl-2-propanamine (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 82834-16-0 CMF C19 H32 N2 O5

Absolute stereochemistry. Rotation (-).

CM 2

CRN 75-64-9 CMF C4 H11 N

IT 82834-16-0P, Perindopril

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of perindopril and perindopril erbumine)

RN 82834-16-0 HCAPLUS

CN 1H-Indole-2-carboxylic acid, 1-[(2S)-2-[[(1S)-1-

(ethoxycarbonyl)butyl]amino]-1-oxopropyl]octahydro-, (2S,3aS,7aS)- (9CI)
(CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

L21 ANSWER 5 OF 19 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER:

2004:799452 HCAPLUS

DOCUMENT NUMBER:

141:301435

TITLE:

Acidic drug complexes for improved bioavailability and

delivery

INVENTOR (S):

Yu, Ruey J.; Van Scott, Eugene J.

PATENT ASSIGNEE(S):

SOURCE:

USA PCT Int. Appl., 33 pp.

10566562.trn

Page 21

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

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PATENT NO.
                        KIND
                               DATE
                                          APPLICATION NO.
                                                                  DATE
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    WO 2004082628
                         A2
                                           WO 2004-US8112
                               20040930
                                                                  20040317
    WO 2004082628
                         A3
                               20041119
        W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,
            CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,
            GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC,
            LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI,
            NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY,
            TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
        RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ,
            BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE,
            ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI,
            SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GO, GW, ML, MR, NE, SN,
            TD, TG
    US 2004220264
                               20041104
                                           US 2004-801134
                         A1
                                                                  20040316 <--
    AU 2004222305
                         A1
                               20040930
                                           AU 2004-222305
                                                                  20040317
    CA 2519126
                         AA
                               20040930
                                           CA 2004-2519126
                                                                  20040317
    EP 1603549
                        A2
                               20051214
                                          EP 2004-757550
                                                                  20040317
            AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
            IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK
PRIORITY APPLN. INFO.:
                                           US 2003-454631P
                                                             P 20030317
                                           US 2004-801134
                                                              A 20040316
                                           WO 2004-US8112
                                                              A 20040317
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OTHER SOURCE(S): MARPAT 141:301435

AB. Embodiments of the invention relate to a composition, a process of making the composition, and to the use of the composition The compns. include

mol. complex formed between an acidic pharmaceutical drug and at least one functional substance. The compns. provide improved bioavailability and improved delivery of the drug into the cutaneous tissues. For example, methotrexate complex with L-lysine was found to have less skin irritation when applying topically to treat psoriasis on the forearm.

IT 82834-16-0D, Perindopril, complexes with amino acid derivs.

RL: COS (Cosmetic use); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(topical compns. containing acidic active ingredient complexes with amino acids and their derivs. for improved skin care and treatment of skin conditions)

RN 82834-16-0 HCAPLUS

CN 1H-Indole-2-carboxylic acid, 1-[(2S)-2-[[(1S)-1-(ethoxycarbonyl)butyl]amino]-1-oxopropyl]octahydro-, (2S,3aS,7aS)-(9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

L21 ANSWER 6 OF 19 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER:

2004:266897 HCAPLUS

DOCUMENT NUMBER:

140:253917

TITLE:

Process for the synthesis of

perindopril and its pharmaceutically-

acceptable salts

INVENTOR(S):

Dubuffet, Thierry; Langlois, Pascal

Les Laboratoires Servier, Fr.

PATENT ASSIGNEE(S): SOURCE:

Eur. Pat. Appl., 9 pp. CODEN: EPXXDW

DOCUMENT TYPE:

Patent

LANGUAGE:

French

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION: DAMENIA NO

PATENT NO.		APPLICATION NO.	
	A1 20040331	EP 2003-290485	
R: AT, BE, CH	, DE, DK, ES, FR,	GB, GR, IT, LI, LU,	NL, SE, MC, PT,
AT 307139	E 20051115	CY, AL, TR, BG, CZ, AT 2003-290485	20030228
ES 2250846	T3 20060416	ES 2003-3290485	20030228
AU 2004217599 WO 2004078107	A1 20040916 A2 20040916	AU 2004-217599 WO 2004-FR446	20040227
WO 2004078107	A3 20041021		
		BA, BB, BG, BR, BW, DM, DZ, EC, EE, EG,	
		IN, IS, JP, KE, KG,	
LK, LR, LS	LT, LU, LV, MA,	MD, MG, MK, MN, MW,	MX, MZ, NA, NI
BG, CH, CY	CZ, DE, DK, EE,	SD, SL, SZ, TZ, UG, ES, FI, FR, GB, GR,	ZM, ZW, AT, BE, HU. IE. IT. LU.
MC, NL, PT	RO, SE, SI, SK,	TR, BF, BJ, CF, CG,	CI, CM, GA, GN,
	MR, NE, SN, TD, A 20060329	CN 2004-80005405	20040227
JP 2006519177	T2 20060824	JP 2006-500163	20040227
US 2006149081 PRIORITY APPLN. INFO.:		US 2005-547131	
TATORITI AFTIM. INFO.:		EP 2003-290485 WO 2004-FR446	
OTHER SOURCE(S):	MARPAT 140:2539		

MARPAT 140:253917

A method for the synthesis of perindopril involves coupling of (2S)-2,3,4,5,6,7-hexahydro-1H-indolecarboxylic acid (I) or an ester with N-[(S)-1-carbethoxybutyl]-L-alanine, followed by catalytic hydrogenation.I benzyl ester tosylate was prepared by reaction of 1-(1-cyclohexen-1yl)pyrrolidine with (R)-ICH2CH(NBoc)CO2CH2Ph (Boc = tert-butoxycarbonyl);

followed by deprotection and cyclization. Perindopril was converted into its tert-butylamine salt.

IT 82834-16-0P, Perindopril 107133-36-8P
RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)
 (synthesis of perindopril and pharmaceutically-acceptable salts)

RN 82834-16-0 HCAPLUS
CN 1H-Indole-2-carboxylic acid, 1-[(2S)-2-[[(1S)-1-(ethoxycarbonyl)butyl]amino]-1-oxopropyl]octahydro-, (2S,3aS,7aS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

RN 107133-36-8 HCAPLUS

CN 1H-Indole-2-carboxylic acid, 1-[(2S)-2-[[(1S)-1-(ethoxycarbonyl)butyl]amino]-1-oxopropyl]octahydro-, (2S,3aS,7aS)-, compd. with 2-methyl-2-propanamine (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 82834-16-0 CMF C19 H32 N2 O5

Absolute stereochemistry. Rotation (-).

CM 2

CRN 75-64-9 CMF C4 H11 N

REFERENCE COUNT:

3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L21 ANSWER 7 OF 19 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER:

2004:182242 HCAPLUS

DOCUMENT NUMBER:

140:223260

TITLE:

Treatment and prevention of abnormal scar formation in

keloids and other cutaneous or internal wounds or

lesions

INVENTOR (S):

Tuan, Tai-lan; Benya, Paul D.; Warburton, David

PATENT ASSIGNEE(S):

USA

SOURCE:

U.S. Pat. Appl. Publ., 26 pp.

CODEN: USXXCO

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

	PATENT NO.								APPLICATION NO.				DATE						
									- -			-	-			-			
	US 20	040	4302	26		A1		2004	0304		US 2	003-	4392	67		2	0030	513	<
	WO 20	040	4115	55		A2		2004	0521		WO 2	003-1	US15	548		2	0030	513	
	WO 20															_			
	. V										BB	RG:	BR	BV	B7	$C\Delta$	CH	CNI	
			CO,	CR,	CII,	C7.	DE,	DK,	DM	D7	EC,	FF,	EC,	ET,	CP,	CD,	CE,	CIV,	
								IN,											
								MD,											
								SC,						ТJ,	TM,	TN,	TR,	TT,	
•								VC,							·				
	F							MZ,											
			KG,	KΖ,	MD,	RU,	ТJ,	TM,	ΑT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,	
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	CN 16	0003	7270			A		2005	0914	,	CN 2	003-8	3166	21		21			
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PRIO	RITY A	YPP	N. 1	LNFO	. :						US 2								
											WO 2								
AB	The r	res	ent	inve	entid	on re	elat.	es to	o fii	ndino	as ti	hat :	cediid	ring	the	act	17/1 + 1	z of	

AB The present invention relates to findings that reducing the activity of Plasminogen Activator Inhibitor-1 (PAI-1) suppresses an excessive deposition of collagen which is known as a cause for the formation of abnormal scars. These abnormal scars include but are not limited to keloids, adhesions, hypertrophic scars, skin disfiguring conditions, fibrosis, fibrocystic conditions, contractures, and scleroderma, all of which are associated with or caused by an excessive deposit of collagen in a wound healing process. Accordingly, aspects of the present invention are directed to the reduction of PAI-1 activity to decrease an

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excessive accumulation of collagen, prevent the formation of an abnormal scar, and/or treat abnormal scars that result from an excessive accumulation of collagen. The PAI-1 activity can be reduced by PAI-1 inhibitors which include but are not limited to PAI-1 neutralizing antibodies, diketopiperazine based compds., tetramic acid based compds., hydroxyquinolinone based compds., Enalapril, Eprosartan, Troglitazone, Vitamin C, Vitamin E, Mifepristone (RU486), and Spironolactone to name a few. Another aspect of the present invention is directed to methods of measuring PAI-1 activity in a wound healing process and determining the propensity of the formation of an abnormal scar.

82834-16-0, Perindopril IT

> RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(prevention of abnormal scar formation in keloids and other cutaneous or internal wounds or lesions)

82834-16-0 HCAPLUS RN

CN1H-Indole-2-carboxylic acid, 1-[(2S)-2-[[(1S)-1-(ethoxycarbonyl)butyl]amino]-1-oxopropyl]octahydro-, (2S,3aS,7aS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

L21 ANSWER 8 OF 19 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER:

2003:947713 HCAPLUS

DOCUMENT NUMBER:

139:381760

TITLE:

Method for synthesis of perindopril and its

pharmaceutically acceptable salts

INVENTOR(S):

Dubuffet, Thierry; Lecouve, Jean-Pierre

PATENT ASSIGNEE(S):

Les Laboratoires Servier, Fr.

SOURCE:

Eur. Pat. Appl., 8 pp.

DOCUMENT TYPE:

Patent

CODEN: EPXXDW

LANGUAGE:

French

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PAT	CENT	NO.			KIN	D	DATE	;	APP	LICAT	ION	NO.		Di	ATE	
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ΕP	1367	061			A1		2003	1203	EP :	2003-	2916	01		2	0030	630
EΡ	1367	061			B1		2006	0104								
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		ΙE,	SI,	LT,	LV,	FI	, RO,	MK,	CY, AL	, TR,	BG,	CZ,	EE,	HU,	SK	
AT	3150	43			E		2006	0215	AT :	2003-	2916	01		20	0030	630
ES	2256	689			Т3		2006	0716	ES :	2003-	3291	601		20	0030	630
ΑU	2004	2537	21		A1		2005	0113	AU :	2004-	2537	21		20	0040	628
WO	2005	0031	53		A1		2005	0113	WO :	2004-	FR16	37		20	0040	628

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W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,
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             NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY,
             TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
         RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM,
             AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK,
             EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE,
             SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE,
             SN, TD, TG
     CN 1802384
                                20060712
                                            CN 2004-80016014
                                                                    20040628
     US 2006178421
                          A1
                                20060810
                                            US 2005-562490
                                                                    20051222 <--
PRIORITY APPLN. INFO.:
                                            EP 2003-291601
                                                                 Α
                                                                    20030630
                                            WO 2004-FR1637
                                                                 W
                                                                    20040628
OTHER SOURCE(S):
                         CASREACT 139:381760; MARPAT 139:381760
     A method for the synthesis of perindopril and its
     pharmaceutically-acceptable salts (e.g., the tert-butylamine) involves
     cyclocondensation reaction of N-[(S)-1-carbethoxybutyl]-(S)-alanine with
     sulfinyl chlorides R1SOCl (R1 = imidazolyl, benimidazolyl, or tetrazolyl)
     to give Et (2S)-2-[(4S)-4-methyl-2,5-dioxo-1,2,3-oxathiazolidin-3-
     yl]pentanoate, which is amidated with (2S)-2,3,4,5,6,7-hexahydro-1H-indole-
     2-carboxylic acid and hydrogenated over 10% Pt/C to give
     perindopril.
     82834-16-0P, Perindopril 107133-36-8P
IΤ
     RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP
     (Preparation)
        (synthesis of perindopril via cyclocondensation of
        carbethoxybutylalanine with imidazolesulfinyl chloride)
RN
     82834-16-0 HCAPLUS
     1H-Indole-2-carboxylic acid, 1-[(2S)-2-[[(1S)-1-
CN
     (ethoxycarbonyl)butyl]amino]-1-oxopropyl]octahydro-, (2S,3aS,7aS)- (9CI)
     (CA INDEX NAME)
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Absolute stereochemistry. Rotation (-).

RN 107133-36-8 HCAPLUS
CN 1H-Indole-2-carboxylic acid, 1-[(2S)-2-[[(1S)-1(ethoxycarbonyl)butyl]amino]-1-oxopropyl]octahydro-, (2S,3aS,7aS)-, compd.
with 2-methyl-2-propanamine (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 82834-16-0
CMF C19 H32 N2 O5

Absolute stereochemistry. Rotation (-).

CM 2

CRN 75-64-9 CMF C4 H11 N

REFERENCE COUNT:

THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L21 ANSWER 9 OF 19 HCAPLUS COPYRIGHT 2006 ACS on STN

2

ACCESSION NUMBER:

2003:912601 HCAPLUS

DOCUMENT NUMBER:

139:386393

TITLE:

Stable formulations of angiotensin converting enzyme

(ACE) inhibitors

INVENTOR(S):

Stofik, Scott; Gwozdz, Robert; Pelloni, Christopher;

James, John C.

PATENT ASSIGNEE(S):

USA

SOURCE:

U.S. Pat. Appl. Publ., 7 pp.

CODEN: USXXCO

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
US 2003215526	A1	20031120	US 2003-384246		20030307 <
PRIORITY APPLN. INFO.:			US 2002-362737P	Р	20020308

AR Disclosed are a stable pharmaceutical composition comprising (1) a therapeutically effective amount of an angiotensin converting enzyme (ACE) inhibitor which is susceptible to degradation or its salt; (2) a greater than stoichiometric amount of an alkali or alkaline earth metal carbonate, relative to the amount of ACE inhibitor or its salt; and (3) a pharmaceutically acceptable carrier; and a process for the manufacture of such compns. For example, moexipril HCl was intimately blended with NaHCO3 prior to wet granulation to give granules containing moexipril HCl 15, NaHCO3 1.2, lactose monohydrate 150.3, crospovidone 6, and pregelatinized starch 16 parts, which were further tableted by adding Crospovidone 4 parts and

Mg stearate 1 part. After storage at 40° and 75 % relative humidity for 4 wks, .apprx.0.4 % degradation products were observed

IT 82834-16-0, Perindopril 87679-37-6,

Trandolapril

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses) (stable formulations of angiotensin converting enzyme inhibitors)

RN 82834-16-0 HCAPLUS

CN 1H-Indole-2-carboxylic acid, 1-[(2S)-2-[[(1S)-1-(ethoxycarbonyl)butyl]amino]-1-oxopropyl]octahydro-, (2S,3aS,7aS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

RN 87679-37-6 HCAPLUS

CN 1H-Indole-2-carboxylic acid, 1-[(2S)-2-[[(1S)-1-(ethoxycarbonyl)-3-phenylpropyl]amino]-1-oxopropyl]octahydro-, (2S,3aR,7aS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

L21 ANSWER 10 OF 19 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER:

2003:609507 HCAPLUS

DOCUMENT NUMBER:

139:149930

TITLE:

Process for the preparation of high purity

perindopril and intermediates useful in its

synthesis

INVENTOR(S):

Simig, Gyula; Mezei, Tibor; Porcs-Makkay, Marta;

Mandi, Attila

PATENT ASSIGNEE(S):

Les Laboratoires Servier, Fr.

SOURCE: Eur. Pat. Appl., 12 pp. CODEN: EPXXDW

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

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10566562.trn

Page 29

PATENT INFORMATION:

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PATENT NO.
                          KIND
                                  DATE
                                            APPLICATION NO.
                                                                       DATE
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     EP 1333026
                                  20030806 EP 2002-290206
                          A1
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                                  20030807 CA 2003-2474003
                                                                       20030129
     WO 2003064388
                           A2
                                              WO 2003-IB691
                                  20030807
                                                                       20030129
     WO 2003064388
                           A3
                                  20040205
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                                  20041015
                                                                       20030129
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                                              BR 2003-7293
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                                              CN 2003-802714
                          Α
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                                                                       20030129
     US 2005119492
                                              US 2003-503272
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                                  20040820
                                              NO 2004-3472
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     BG 108858
                                  20050531
                                              BG 2004-108858
                                                                       20040827
PRIORITY APPLN. INFO.:
                                              EP 2002-290206
                                                                   A 20020130
                                              WO 2003-IB691
                                                                   W 20030129
OTHER SOURCE(S):
                          MARPAT 139:149930
     The invention relates to 1-[2(S)-[1(S)-(ethoxycarbonyl)butylamino]propiony
     1]-(3aS,7aS)octahydroindole-2(S)-carboxylic acid (perindopril)
     and its tert-butylamine salt, free of contaminants derivable from
     dicyclohexylcarbodiimide, and a process for their synthesis.
     The invention also relates to N-[1-(ethoxycarbonyl)butyl]-N-
     (alkoxycarbonyl) alanine intermediates used in the synthesis of
     perindopril, a known ACE inhibitor. Thus, N-[1-
     (ethoxycarbonyl)butyl]-N-(ethoxycarbonyl)alanine, prepared by
     ethoxycarbonylation of N-[1-(ethoxycarbonyl)butyl]alanine, was treated
     with thionyl chloride in CH2Cl2 and acylated by perhydroindole-2-
     carboxylic acid in THF at reflux for 4-4.5 h. The product was treated
     with tert-butylamine to afford 55% perindopril eburmine.
     82834-16-0P, Perindopril 107133-36-8P,
IT
     Perindopril ebumine
     RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP
        (process for preparation of high purity perindopril and
        intermediates useful in its synthesis)
RN
     82834-16-0 HCAPLUS
CN
     1H-Indole-2-carboxylic acid, 1-[(2S)-2-[[(1S)-1-
     (ethoxycarbonyl)butyl]amino]-1-oxopropyl]octahydro-, (2S,3aS,7aS)- (9CI)
     (CA INDEX NAME)
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Absolute stereochemistry. Rotation (-).

RN 107133-36-8 HCAPLUS

CN 1H-Indole-2-carboxylic acid, 1-[(2S)-2-[[(1S)-1-(ethoxycarbonyl)butyl]amino]-1-oxopropyl]octahydro-, (2S,3aS,7aS)-, compd. with 2-methyl-2-propanamine (1:1) (9CI) (CA_INDEX_NAME)

CM 1

CRN 82834-16-0 CMF C19 H32 N2 O5

Absolute stereochemistry. Rotation (-).

CM 2

CRN 75-64-9 CMF C4 H11 N

REFERENCE COUNT:

THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L21 ANSWER 11 OF 19 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER:

2003:77804 HCAPLUS

DOCUMENT NUMBER:

138:107004

TITLE:

A process for the preparation of

perindopril, its analogs and salts using

10566562.trn

Page 31

2,5-dioxooxazolidine intermediate compounds

INVENTOR(S): PATENT ASSIGNEE(S): Cid, Pau Adir, Fr.

SOURCE:

Eur. Pat. Appl., 11 pp.

CODEN: EPXXDW

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

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PATENT NO.
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     EP 1279665
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     WO 2003010142
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                                               WO 2002-EP8223
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     WO 2003010142
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              GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
             LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH,
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              CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
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                                                                        20040115
     US 2004248814
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                                                                        20040712 <--
PRIORITY APPLN. INFO.:
                                               EP 2001-500197
                                                                     A 20010724
                                               WO 2002-EP8223
                                                                 · W 20020723
OTHER SOURCE(S):
                         MARPAT 138:107004
     Perindopril [(2S, 3aS, 7aS) -1-[(2S) -2-[(1S) -1-
     (ethoxycarbonyl)butylamino]propionyl]oc tahydro-1H-indole-2-carboxylic
     acid] or its analogs or salts were prepared by treating
     RcCH(CO2Ra)NHCHRbCO2H (Ra, Rb = C1-4 alkyl, Rc = C1-6alkyl) with X2C:O (X
     is a leaving group) to give a 2,5-dioxooxazolidine, which reacts with
     octahydro-1H-indole-2-carboxylic acid or ester to give the desired
     product. In an example, N,N'-carbonyldiimidazole was added to a
     suspension of N-[(S)-1-carbethoxybutyl]-(S)-alanine in CH2Cl2 and the
     mixture kept at 0° for 1 h. (2S,3aS,7aS)-octahydroindole-2-
     carboxylic acid was added at -5°C and the solution kept at this temperature
     for 1 h to give 80% perindopril (isolated as the tert-butylamine
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IT 82834-16-0P, Perindopril 107133-36-8P,

Perindopril erbumine

RL: IMF (Industrial manufacture); RCT (Reactant); PREP (Preparation); RACT (Reactant or reagent)

(process for preparation of perindopril using dioxooxazolidine intermediate)

RN 82834-16-0 HCAPLUS

salt).

CN 1H-Indole-2-carboxylic acid, 1-[(2S)-2-[[(1S)-1-(ethoxycarbonyl)butyl]amino]-1-oxopropyl]octahydro-, (2S,3aS,7aS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

RN 107133-36-8 HCAPLUS

CN 1H-Indole-2-carboxylic acid, 1-[(2S)-2-[[(1S)-1-(ethoxycarbonyl)butyl]amino]-1-oxopropyl]octahydro-, (2S,3aS,7aS)-, compd. with 2-methyl-2-propanamine (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 82834-16-0 CMF C19 H32 N2 O5

Absolute stereochemistry. Rotation (-).

CM 2

CRN 75-64-9 CMF C4 H11 N

L21 ANSWER 12 OF 19 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER:

2002:754995 HCAPLUS

DOCUMENT NUMBER:

137:268473

TITLE:

Porous drug matrices and methods of manufacture

thereof

INVENTOR(S):

Straub, Julie; Altreuter, David; Bernstein, Howard;

10566562.trn

Page 33

Chickering, Donald E.; Khattak, Sarwat; Randall, Greg

PATENT ASSIGNEE(S): Acusphere Inc., USA

SOURCE: U.S. Pat. Appl. Publ., 20 pp., Cont.-in-part of U.S.

6,395,300. CODEN: USXXCO

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATI	ENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6	1642572	A1 B1 A1	20021003 20020528 20060405	US 1999-433486	19991104 < 20000525
	IE, FI, CY		., 65, FK,	GB, GR, II, EI, EU, NE	1, SE, MC, P1,
	1823737	Α	20060830	CN 2005-10136940	20000525
	6645528 6932983	B1 B1	20031111 20050823	US 2000-694407 US 2000-706045	
	2001010347	A	20030823	ZA 2001-10347	
	2005048116	A1	20050303	US 2004-924642	
	2005058710 APPLN. INFO.:	A1	20050317	US 2004-928886	
PRIORITI	AFFEIN. INFO.:			US 1999-136323P US 1999-158659P	P 19990527 . P 19991008
		•		US 1999-433486	A2 19991104
				US 2000-186310P	P 20000302
				CN 2000-808161	A3 20000525
				EP 2000-939365 US 2002-53929	A3 20000525 A3 20020122
		_		00 2002 33727	233 20020I22

 $\ensuremath{\mathsf{AB}}$ $\ensuremath{\mathsf{Drugs}}$, especially low aqueous solubility drugs, are provided in a porous matrix form,

preferably microparticles, which enhances dissoln. of the drug in aqueous media. The drug matrixes preferably are made using a process that includes (i) dissolving a drug, preferably a drug having low aqueous solubility, in a volatile solvent to form a drug solution, (ii) combining at least

one pore forming agent with the drug solution to form an emulsion, suspension, or second solution and hydrophilic or hydrophobic excipients that stabilize the drug and inhibit crystallization, and (iii) removing the volatile solvent and pore forming agent from the emulsion, suspension, or second solution to yield the porous matrix of drug. Hydrophobic or hydrophilic excipients may be selected to stabilize the drug in crystalline form by inhibiting crystal growth or to stabilize the drug in amorphous form by preventing crystallization. The pore forming agent can be either a volatile liquid

that is immiscible with the drug solvent or a volatile solid compound, preferably a volatile salt. In a preferred embodiment, spray drying is used to remove the solvents and the pore forming agent. The resulting porous matrix has a faster rate of dissoln. following administration to a patient, as compared to non-porous matrix forms of the drug. In a preferred embodiment, microparticles of the porous drug matrix are reconstituted with an aqueous medium and administered parenterally, or processed using standard techniques into tablets or capsules for oral administration. Thus, 5.46 g of PEG 8000, 0.545 g of prednisone, and 0.055 g of Span 40 were dissolved in 182 mL of methylene chloride. A solution of 3.27 g of ammonium bicarbonate in 18.2 mL of water was added to the organic solution (phase ratio 1:10) and homogenized for 5 min at 16,000

RPM.

10/01/2006

10566562.trn

The resulting emulsion was spray dried on a benchtop spray dryer using an air-atomizing nozzle and nitrogen as the drying gas.

IT 82834-16-0, Perindopril 87679-37-6,

Trandolapril

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses) (porous drug matrixes and methods of manufacture thereof)

RN 82834-16-0 HCAPLUS

CN 1H-Indole-2-carboxylic acid, 1-[(2S)-2-[[(1S)-1-(ethoxycarbonyl)butyl]amino]-1-oxopropyl]octahydro-, (2S,3aS,7aS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

RN 87679-37-6 HCAPLUS

CN 1H-Indole-2-carboxylic acid, 1-[(2S)-2-[[(1S)-1-(ethoxycarbonyl)-3-phenylpropyl]amino]-1-oxopropyl]octahydro-, (2S,3aR,7aS)- (9GI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

L21 ANSWER 13 OF 19 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER:

2002:504616 HCAPLUS

DOCUMENT NUMBER:

137:68194

TITLE:

Thermoformable solid pharmaceutical composition for

controlled release of perindopril

INVENTOR(S):

Wuthrich, Patrick; Rolland, Herve; Briault, Gilles;

Pichon, Gerard; Tharrault, Francois

PATENT ASSIGNEE(S):

Les Laboratoires Servier, Fr.

SOURCE:

PCT Int. Appl., 22 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent French

LANGUAGE:

. 1

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

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PATENT NO.
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     WO 2002051407 A1
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            GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
            LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH,
            PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ,
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     EP 1345605
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                               20060113
                                          HK 2004-106635
                                                                 20040903
PRIORITY APPLN. INFO.:
                                          FR 2000-17013
                                                             A 20001226
                                          WO 2001-FR4133
                                                            W 20011221
    The invention concerns a novel solid pharmaceutical composition, with
AB
    controlled release, obtained by hot-process thermoforming of a
    mixture based on polymers belonging to the polymethacrylate family, and
    perindopril or one of its pharmaceutically acceptable salts.
    Controlled-release pharmaceutical were prepared by extrusion of 2%
    perindopril tert-butylamine salt and 98% Eudragit E-100 at
    95°. Dissoln. rate of the composition was studied.
IT
    82834-16-0, Perindopril 107133-36-8
    RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
        (thermoformable solid pharmaceutical composition for controlled release of
       perindopril)
RN
    82834-16-0 HCAPLUS
    1H-Indole-2-carboxylic acid, 1-[(2S)-2-[[(1S)-1-
CN
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(ethoxycarbonyl)butyl]amino]-1-oxopropyl]octahydro-, (2S,3aS,7aS)- (9CI)

Absolute stereochemistry. Rotation (-).

(CA INDEX NAME)

RN 107133-36-8 HCAPLUS

CN 1H-Indole-2-carboxylic acid, 1-[(2S)-2-[[(1S)-1-(ethoxycarbonyl)butyl]amino]-1-oxopropyl]octahydro-, (2S,3aS,7aS)-, compd. with 2-methyl-2-propanamine (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 82834-16-0 CMF C19 H32 N2 O5

Absolute stereochemistry. Rotation (-).

CM 2

CRN 75-64-9 CMF C4 H11 N

REFERENCE COUNT:

4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L21 ANSWER 14 OF 19 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER:

2001:816626 HCAPLUS

DOCUMENT NUMBER:

135:344373

TITLE:

Process for preparing the novel γ

crystalline form of the diuretic perindopril

10566562.trn

Page 37

15:35

tert-butylamine salt

INVENTOR(S): Pfeiffer, Bruno; Ginot, Yves-Michel; Coquerel, Gerard;

Beilles, Stephane

PATENT ASSIGNEE(S): Adir et Compagnie, Fr. SOURCE: PCT Int. Appl., 11 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

LANGUAGE:

Patent French

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

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F	R 2811		•	,	A1		2002	0111	J,	FR 2	000-	8791	<i>O</i> 2.,	10,		0000	706
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J	P 3592	296			B2		2004	1124		-			-		-	0010	, 00
P	T 1296	948			T		2004 2003	1231		PT 2	001-	9540	60		2	0010	706
E	S 2206	423			Т3		2004	0516		ES 2	001-	1954	060		20	0010	706
N	S 2206 Z 5233 E 2003	11			Α		2004	0625		NZ 2	001-	5233	11		20	0010	706
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									1	WO 2	001-1	FR216	59	V	v 20	010	706
									1	US 2	002-3	31290		E		00212	
T	heγc	rysta	allir	ne fo	orm c	of t	he di	iuret	ic	per	indo	oril					

AB tert-butylamine salt (I) is prepared by refluxing a chloroform-I solution, cooling the solution to 0°, and filtering the I γ crystal modification which is characterized by its X-ray diffraction pattern; a I-containing formulation is presented.

IT 107133-36-8

RL: PEP (Physical, engineering or chemical process); PRP (Properties);

PROC (Process)

(process for preparing the novel γ crystalline form of the

diuretic perindopril tert-butylamine salt)

RN 107133-36-8 HCAPLUS

CN 1H-Indole-2-carboxylic acid, 1-[(2S)-2-[[(1S)-1-

(ethoxycarbonyl)butyl]amino]-1-oxopropyl]octahydro-, (2S,3aS,7aS)-, compd.

with 2-methyl-2-propanamine (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 82834-16-0 CMF C19 H32 N2 O5

Absolute stereochemistry. Rotation (-).

CM 2.

CRN 75-64-9 CMF C4 H11 N

L21 ANSWER 15 OF 19 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2001:564819 HCAPLUS

DOCUMENT NUMBER: 135:142246

TITLE: ACE inhibitor-vasopressin antagonist combinations

INVENTOR(S): Pressler, Millton Lethan
PATENT ASSIGNEE(S): Warner-Lambert Company. USA

PATENT ASSIGNEE(S): Warner-Lambert Company, USA SOURCE: PCT Int. Appl., 32 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE

10566562.trn

Page 39

15:35

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WO 2001054677
                           A2
                                  20010802
                                               WO 2000-US32569
                                                                        20001130
     WO 2001054677
                           A3
                                  20020131
     WO 2001054677
                           C2
                                  20030612
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PRIORITY APPLN. INFO.:
                                               US 2000-178169P
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                                                                        20000126
                                               WO 2000-US32569
                                                                     W
                                                                        20001130
                                               US 2002-130168
                                                                     A1 20020509
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OTHER SOURCE(S):

MARPAT 135:142246

AB Combinations of ACE inhibitors and vasopressin antagonists are useful to slow and reverse the process of ventricular dilation, and chronic heart failure in mammals. The clin. efficacy of YM087 and combination of ACE inhibitors and vasopressin antagonists was established in animals and humans. A tablet contained conivaptin 25, qunapril hydrochloride 20, lactose 30, corn starch 20, and magnesium stearate 5%.

IT 82834-16-0, Perindopril 87679-37-6,

Trandolapril

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(ACE inhibitor-vasopressin antagonist combinations)

RN 82834-16-0 HCAPLUS

CN 1H-Indole-2-carboxylic acid, 1-[(2S)-2-[[(1S)-1-(ethoxycarbonyl)butyl]amino]-1-oxopropyl]octahydro-, (2S,3aS,7aS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

RN 87679-37-6 HCAPLUS

CN 1H-Indole-2-carboxylic acid, 1-[(2S)-2-[[(1S)-1-(ethoxycarbonyl)-3-phenylpropyl]amino]-1-oxopropyl]octahydro-, (2S,3aR,7aS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

L21 ANSWER 16 OF 19 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER:

2000:861473 HCAPLUS

DOCUMENT NUMBER:

134:32972

TITLE:

Porous drug matrixes containing polymers and sugars

and methods of their manufacture

INVENTOR(S):

Straub, Julie; Bernstein, Howard; Chickering, Donald

E., III; Khatak, Sarwat; Randall, Greg

PATENT ASSIGNEE(S):

SOURCE:

Acusphere, Inc., USA PCT Int. Appl., 45 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND DATE	APPLICATION NO.	DATE
WO 2000072827 WO 2000072827		WO 2000-US14578	20000525
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US 6395300	B1 20020528	US 1999-433486	19991104 <
CA 2371836	C 20060131		
EP 1180020 EP 1180020		EP 2000-939365	20000525
R: AT, BE, CH,		GB, GR, IT, LI, LU, N	L, SE, MC, PT,
		BR 2000-10984	
JP 2003500438 NZ 516083		JP 2000-620939 NZ 2000-516083	
		AU 2000-514083	
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EP 1642572	A1 20060405	EP 2005-27194	20000525
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ES 2250141	T3 20060416	ES 2000-939365	20000525

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PRIORITY APPLN. INFO.:
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                                          US 2000-186310P
                                                            P 20000302
                                          CN 2000-808161
                                                             A3 20000525
                                                             A3 20000525
                                          EP 2000-939365
                                          WO 2000-US14578 W 20000525
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AB Drugs, especially low aqueous solubility drugs, are provided in a porous matrix form,

preferably microparticles, which enhances dissoln. of the drug in aqueous media. The drug matrixes preferably are made using a process that includes (i) dissolving a drug, preferably a drug having low aqueous solubility, in a volatile solvent to form a drug solution, (ii) combining at st

one pore forming agent with the drug solution to form an emulsion, suspension, or second solns., and (iii) removing the volatile solvent and pore forming agent from the emulsion, suspension, or second solution to yield the porous matrix of drug. The pore forming agent can be either a volatile liquid that is immiscible with the drug solvent or a volatile solid compound, preferably a volatile salt. In a preferred embodiment, spray drying is used to remove the solvents and the pore forming agent. The resulting porous matrix has a faster rate of dissoln. following administration to a patient, as compared to non-porous matrix forms of the drug. In a preferred embodiment, microparticles of the porous drug matrix are reconstituted with an aqueous medium and administered parenterally, or processed using standard techniques into tablets or capsules for oral administration. Paclitaxel or docetaxel can be provided in a porous matrix form, which allows the drug to be formulated without solubilizing agents and administered as a bolus. For example, a nifedipine-loaded organic solution was prepared by dissolving 9.09 g of PEG 3350, 2.27 g of nifedipine, and 0.009 g of lecithin in 182 mL of methylene chloride. An aqueous solution

prepared by dissolving 3.27 g of NH4HCO3 and 0.91 g of PEG 3350 in 1.82 mL of water. The aqueous and organic solns. were homogenized and resulting emulsion

was spray dried. A suspension of the porous nifedipine drug matrix was prepared in 5% dextrose solution at a concentration of 2.5 mg/mL. A bolus injection

of the suspension was tolerated when administrated to dogs.

IT 82834-16-0, Perindopril 87679-37-6,

Trandolapril

was

RL: PEP (Physical, engineering or chemical process); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)

(preparation of porous matrixes containing hydrophilic polymers and sugars for

enhancement of drug dissoln.)

RN 82834-16-0 HCAPLUS

CN 1H-Indole-2-carboxylic acid, 1-[(2S)-2-[[(1S)-1-(ethoxycarbonyl)butyl]amino]-1-oxopropyl]octahydro-, (2S,3aS,7aS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

87679-37-6 HCAPLUS

CN 1H-Indole-2-carboxylic acid, 1-[(2S)-2-[[(1S)-1-(ethoxycarbonyl)-3phenylpropyl]amino]-1-oxopropyl]octahydro-, (2S,3aR,7aS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

L21 ANSWER 17 OF 19 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER:

1999:421569 HCAPLUS

DOCUMENT NUMBER:

131:68144

TITLE:

Angiotensin-converting enzyme inhibitor-matrix

metalloproteinase inhibitor combinations for treatment of fibrosis, ventricular dilation, and heart failure Peterson, Joseph Thomas, Jr.; Pressler, Milton Lethan

INVENTOR(S): PATENT ASSIGNEE(S):

Warner-Lambert Company, USA

SOURCE:

PCT Int. Appl., 156 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.	KIND DATE	APPLICATION NO.	DATE
WO 9932150	A1 19990701	WO 1998-US23993	19981110
W: AL, AU, BA,	BB, BG, BR, CA,	CN, CU, CZ, EE, GE, HR,	HU, ID, IL,
IS, JP, KP,	KR, LC, LK, LR,	LT, LV, MG, MK, MN, MX,	NO, NZ, PL,
RO, SG, SI,	SK, SL, TR, TT,	UA, US, UZ, VN, YU, AM,	AZ, BY, KG,
KZ, MD, RU,			. , ,
RW: GH, GM, KE,	LS, MW, SD, SZ,	UG, ZW, AT, BE, CH, CY,	DE, DK, ES,
		MC, NL, PT, SE, BF, BJ,	
	GW, ML, MR, NE,		•
CA 2305436	AA 19990701	CA 1998-2305436	19981110
AU 9915220 -	A1 19990712	AU 1999-15220	19981110

, AU 7	751701		B2	20020822		
BR 9	9814422		Α	20001010	BR 1998-14422	19981110
EP 1	L047450		A1	20001102	EP 1998-959416	19981110
EP 1	L047450		В1	20021002		
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	ΙE,	FI				
JP 2	200152624	ł5	T2	20011218	JP 2000-525140	19981110
NZ 5	503962		Α	20020328	NZ 1998-503962	19981110
AT 2	225187		E	20021015	AT 1998-959416	19981110
ES 2	2184340		Т3	20030401	ES 1998-959416	19981110
ZA 9	9811794		Α	19990629	ZA 1998-11794	19981222
US 6	5133304		Α	20001017	US 2000-485253	20000207 <
MX 2	200003736	5	Α	20001020	MX 2000-3736	20000417
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PRIORITY	APPLN. I	NFO.:			US 1997-68594P	P 19971223
					WO 1998-US23993	W 19981110

OTHER SOURCE(S):

MARPAT 131:68144

AB Combinations of ACE inhibitors and MMP inhibitors are useful to slow and reverse the process of fibrosis, ventricular dilation, and heart failure in mammals.

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(ACE inhibitor-matrix metalloproteinase inhibitor combinations for treatment of fibrosis, ventricular dilation, and heart failure)

RN 82834-16-0 HCAPLUS

CN 1H-Indole-2-carboxylic acid, 1-[(2S)-2-[[(1S)-1-(ethoxycarbonyl)butyl]amino]-1-oxopropyl]octahydro-, (2S,3aS,7aS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

RN 87679-37-6 HCAPLUS

CN 1H-Indole-2-carboxylic acid, 1-[(2S)-2-[[(1S)-1-(ethoxycarbonyl)-3-phenylpropyl]amino]-1-oxopropyl]octahydro-, (2S,3aR,7aS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

REFERENCE COUNT:

THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L21 ANSWER 18 OF 19 HCAPLUS COPYRIGHT 2006 ACS on STN

6

ACCESSION NUMBER:

1997:456086 HCAPLUS

DOCUMENT NUMBER:

127:145194

TITLE:

Combined use of angiotensin inhibitors and nitric

oxide stimulators to treat fibrosis Chobanian, Aram; Brecher, Peter

INVENTOR(S):
PATENT ASSIGNEE(S):

Trustees of Boston University, USA

SOURCE:

U.S., 5 pp. CODEN: USXXAM

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
		~		-
US 5645839	A	19970708	US 1995-482819	19950607 <
US 6139847	A	20001031	US 1997-801512	19970218 <
PRIORITY APPLN. INFO.:			US 1995-482819 A3	3 19950607

AB A combination of angiotensin inhibitors and nitric oxide stimulators is used to slow and reverse the process of fibrosis in the body.

This combination of medicaments is particularly useful in the treatment of a variety of cardiovascular fibrotic pathologies, such as that associated with left ventricular hypertrophy secondary to hypertension, myocardial infarction, and myocarditis.

IT 82834-16-0, Perindopril

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses) (angiotensin inhibitor-nitric oxide stimulator combination for fibrosis treatment)

RN 82834-16-0 HCAPLUS

CN 1H-Indole-2-carboxylic acid, 1-[(2S)-2-[[(1S)-1-(ethoxycarbonyl)butyl]amino]-1-oxopropyl]octahydro-, (2S,3aS,7aS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

L21 ANSWER 19 OF 19 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER:

1995:858706 HCAPLUS

DOCUMENT NUMBER:

123:266119

TITLE:

A pharmaceutical product comprising a salicylate of an

esterifiable ACE-inhibitor Byrne, William; Rynne, Andrew Cal International Ltd., Ire.

PATENT ASSIGNEE(S): SOURCE:

PCT Int. Appl., 46 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

INVENTOR (S):

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.			KIND DATE		ATE	APPLICATION NO. DATE		
WO	9520571			A1	1:	- 9950803	WO 1995-IE12 19950127	
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CA	2182198			AA	19	9950803	CA 1995-2182198 19950127	
AU	9516709	1		A1			AU 1995-16709 19950127	
EP	741699			A 1			EP 1995-908364 19950127	
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GB	2300635						GB 1996-16297 19950127	
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US	5852047			Α	19	9981222		_
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							WO 1995-IE12 A 19950127	
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AB Salicylates of esterifiable ACE inhibitors, especially captropril-S-aspirinate, and processes for their preparation are described. A pharmaceutical composition (e.g. capsules or tablets) contains the compds. of the invention and may also contain a diuretic and K+ salts.

IT 82834-16-0, Perindopril 95153-31-4,

Perindoprilat

RL: RCT (Reactant); RACT (Reactant or reagent)

(preparation of compns. containing salicylate of esterifiable ACE-inhibitors)

RN 82834-16-0 HCAPLUS

CN 1H-Indole-2-carboxylic acid, 1-[(2S)-2-[[(1S)-1-

(ethoxycarbonyl)butyl]amino]-1-oxopropyl]octahydro-, (2S,3aS,7aS)- (9CI)
(CA INDEX NAME)

10566562.trn

Absolute stereochemistry. Rotation (-).

RN 95153-31-4 HCAPLUS

CN 1H-Indole-2-carboxylic acid, 1-[(2S)-2-[[(1S)-1-carboxybutyl]amino]-1-oxopropyl]octahydro-, (2S,3aS,7aS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

IT 82834-16-0D, Perindopril, aspirin derivs.

95153-31-4D, Perindoprilat, aspirin derivs.

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses) (preparation of compns. containing salicylate of esterifiable

ACE-inhibitors)

RN 82834-16-0 HCAPLUS

CN 1H-Indole-2-carboxylic acid, 1-[(2S)-2-[[(1S)-1-(ethoxycarbonyl)butyl]amino]-1-oxopropyl]octahydro-, (2S,3aS,7aS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

10566562.trn

RN 95153-31-4 HCAPLUS

CN 1H-Indole-2-carboxylic acid, 1-[(2S)-2-[[(1S)-1-carboxybutyl]amino]-1-oxopropyl]octahydro-, (2S,3aS,7aS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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http://www.cas.org/ONLINE/UG/regprops.html

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ring nodes :

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chain bonds :

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ring bonds :

1-2 1-6 2-3 3-4 4-7 5-6 5-9 6-7 7-8 8-9

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exact bonds :

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isolated ring systems :

containing 1 :

G1:X

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:CLASS 11:CLASS 12:CLASS 13:CLASS 14:CLASS 15:CLASS 16:CLASS 18:CLASS

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Stereo Chiral Centers:

9 (Parity=Don't Care)

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FULL FILE PROJECTIONS: ONLINE **COMPLETE**

BATCH **COMPLETE**

PROJECTED ITERATIONS: 301 TO 979

PROJECTED ANSWERS: 0 TO

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FULL SCREEN SEARCH COMPLETED - 586 TO ITERATE

100.0% PROCESSED 586 ITERATIONS 2 ANSWERS

SEARCH TIME: 00.00.01

2 SEA SSS FUL L22

=> FIL HCAPLUS

SINCE FILE ENTRY COST IN U.S. DOLLARS TOTAL

SESSION FULL ESTIMATED COST

166.94 1163.95

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS) SINCE FILE TOTAL

ENTRY SESSION CA SUBSCRIBER PRICE 0.00 -14.25

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10566562.trn

Page 50

15:35

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L25 1 L24

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L25 ANSWER 1 OF 1 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1987:67669 HCAPLUS

DOCUMENT NUMBER: 106:67669 TITLE: Indolapril

INVENTOR(S): Linan Castellet, Isidro; Oliver Mir, Monica PATENT ASSIGNEE(S): Farmhispania S. A., Spain; Bioiberica S. A.

SOURCE:

Span., 13 pp. CODEN: SPXXAD

DOCUMENT TYPE: LANGUAGE: Patent Spanish

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
ES 537841	A1	19860116	ES 1984-537841	19841121
PRIORITY APPLN. INFO.:			ES 1984-537841	.19841121

AB The title compound, useful as an antihypertensive (no data), was prepared An indole-2-carboxylic acid derivative was N-acylated by MeCHBrCOBr and NaHCO3 and the product was treated with (S)-PhCH2CH2CH(NH2)CO2Et and Et3N to give Indolapril.

IT 106534-64-9P

RN 106534-64-9 HCAPLUS

CN lH-Indole-2-carboxylic acid, 1-(2-bromo-1-oxopropyl)octahydro-, $[2S-[1(S^*),2\alpha,3a\beta,7a\beta]]$ - (9CI) (CA INDEX NAME)

Absolute stereochemistry.

IT 106534-65-0P

RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of, for alkylation of aminobutyric acid derivative)

RN 106534-65-0 HCAPLUS

CN 1H-Indole-2-carboxylic acid, 1-(2-bromo-1-oxopropyl)octahydro-, $[2S-[1(R^*),2\alpha,3a\beta,7a\beta]]$ - (9CI) (CA INDEX NAME)

Absolute stereochemistry.

=> log y COST IN U.S. DOLLARS

SINCE FILE TOTAL ENTRY SESSION 15.23 1179.18

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

SINCE FILE TOTAL ENTRY SESSION

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